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                 IPC display formats
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                 CAS REGISTRY enhanced with additional experimental
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         MAR 31
                 CA/CAplus and CASREACT patent number format for U.S.
                 applications updated
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                 LPCI now available as a replacement to LDPCI
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         JUN 25
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                 AEROSPACE enhanced with more than 1 million U.S.
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                 CAplus currency for Korean patents enhanced
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AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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=> file registry
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SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

COST IN U.S. DOLLARS

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chain nodes : 13 25 32 33 ring nodes : chain bonds : 3-13 6-7 10-16 14-32 15-22 23-25 26-32 29-33 ring bonds : 1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 14-15 14-1815-16 16-17 17-18 19-20 19-24 20-21 21-22 22-23 23-24 26-27 26-31 27-28 28-29 29-30 30-31 exact/norm bonds : $10-16 \quad 14-15 \quad 14-18 \quad 15-16 \quad 16-17 \quad 17-18 \quad 26-27 \quad 26-31 \quad 26-32 \quad 27-28 \quad 28-29 \quad 29-30$ 30 - 31exact bonds : 3-13 6-7 14-32 15-22 23-25 29-33 normalized bonds : $1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 7-8 \quad 7-12 \quad 8-9 \quad 9-10 \quad 10-11 \quad 11-12 \quad 19-20 \quad 19-24$ 20-21 21-22 22-23 23-24

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:CLASS 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom 32:CLASS 33:CLASS

L1 STRUCTURE UPLOADED

=> s l1 fam ful FULL SEARCH INITIATED 11:17:45 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 89 TO ITERATE

100.0% PROCESSED 89 ITERATIONS 1 ANSWERS SEARCH TIME: 00.00.01

L2 1 SEA FAM FUL L1

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SINCE FILE ENTRY SESSION FULL ESTIMATED COST 70.11 70.32

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=> s 12

1 L2 L3

=> d 13 ibib abs

ANSWER 1 OF 1 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:841775 CAPLUS

DOCUMENT NUMBER: 141:350163

TITLE: Preparation of arylpyrazoles as serotonin 5-HT2A and

5-HT2C receptor antagonists

INVENTOR(S): Schiemann, Kai; Ackermann, Karl-August; Arlt, Michael;

> Finsinger, Dirk; Schadt, Oliver; Van Amsterdam, Christoph; Bartoszyk, Gerd; Seyfried, Christoph

Merck Patent GmbH, Germany PATENT ASSIGNEE(S):

SOURCE: Ger. Offen., 102 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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                                            DE 2003-10315572 A 20030405
WO 2004-EP2353 W 20040308
PRIORITY APPLN. INFO.:
                   MARPAT 141:350163
OTHER SOURCE(S):
GT
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Preparation of title compds. I [X = CH, N; R1 = H, halo, (CH2)nHet, etc.; R2 = (CH2)nHet, (CH2)nAr, cycloalkyl, etc.; R3, R4 = H, (CH2)nCOHet, CH0, etc.; n = 0-5; Ar = (un)substituted Ph; Het = (un)substituted monoarom., bicyclic-heterocycle] and their pharmaceutically acceptable salts were prepared For example, sodium triacetoxyborohydride meditated reductive amination of 1-methyl-piperazine and aldehyde II, e.g., prepared from 2-fluoro- α , γ -dioxo-benzenebutanoic Et ester in 4-steps, afforded the dihydrochloride salt of arylpyrazole III. In 5-HT2A receptor binding assays, 167-examples of compds. I exhibited IC50 values ranging from 0.015-4.7x10-7M. Compds. I are claimed suitable as ligands of 5-HT receptors.

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FULL SEARCH INITIATED 11:18:30 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 163 TO ITERATE

100.0% PROCESSED 163 ITERATIONS 4 ANSWERS

SEARCH TIME: 00.00.01

L4 4 SEA SSS FUL L1

=> file caplus

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=> s 14

L5 2 L4

=> d 15 ibib abs 1-2

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:841775 CAPLUS

DOCUMENT NUMBER: 141:350163

TITLE: Preparation of arylpyrazoles as serotonin 5-HT2A and

5-HT2C receptor antagonists

INVENTOR(S): Schiemann, Kai; Ackermann, Karl-August; Arlt, Michael;

Finsinger, Dirk; Schadt, Oliver; Van Amsterdam, Christoph; Bartoszyk, Gerd; Seyfried, Christoph

PATENT ASSIGNEE(S): Merck Patent GmbH, Germany

SOURCE: Ger. Offen., 102 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

GΙ

PATI	PATENT NO.						KIND DATE				LICA		DATE					
	DE 10315572 AU 2004228120												20030405					
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AB Preparation of title compds. I [X = CH, N; R1 = H, halo, (CH2)nHet, etc.; R2 = (CH2)nHet, (CH2)nAr, cycloalkyl, etc.; R3, R4 = H, (CH2)nCOHet, CHO, etc.; n = 0-5; Ar = (un)substituted Ph; Het = (un)substituted monoarom., bicyclic-heterocycle] and their pharmaceutically acceptable salts were prepared For example, sodium triacetoxyborohydride meditated reductive amination of 1-methyl-piperazine and aldehyde II, e.g., prepared from 2-fluoro- α , γ -dioxo-benzenebutanoic Et ester in 4-steps, afforded the dihydrochloride salt of arylpyrazole III. In 5-HT2A receptor binding assays, 167-examples of compds. I exhibited IC50 values ranging from 0.015-4.7x10-7M. Compds. I are claimed suitable as ligands of 5-HT receptors.

ACCESSION NUMBER: 2004:841772 CAPLUS

DOCUMENT NUMBER: 141:332186

TITLE: Preparation of arylpyrazoles as serotonin 5-HT2A

and/or 5-HT2C receptor antagonists.

INVENTOR(S): Schadt, Oliver; Arlt, Michael; Finsinger, Dirk;

Schiemann, Kai; Van Amsterdam, Christoph; Bartoszyk,

Gerd; Seyfried, Christoph

PATENT ASSIGNEE(S): Merck Patent GmbH, Germany SOURCE: Ger. Offen., 78 pp.

Ger. Offen., 78 pp. CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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OTHER SOURCE(S): MARPAT 141:332186

GΙ

$$R^{1}$$
 X
 N
 R^{4}
 R^{3}

AB Title compds. [I; R1 = H, A, halo, (CH2)nAr, cycloalkyl, CF3, NO2, cyano, C(NH)NOH, OCF3; R2 = (CH2)nHet, (CH2)nAr, cycloalkyl, CF3; R3, R4 = H, (CH2)nCO2R5, (CH2)nCOHet, CHO, (CH2)nOR5, (CH2)nHet, CH:NOA, etc.; R5 = H, A; A = alkyl, alkoxy, alkenyl, alkoxyalkyl; Ar = (substituted) Ph; Het = (aromatic) mono- or bicyclic heterocyclyl, heteroatom-containing organic residue; X

= N, CH; with provisos], were prepared Thus, [1-(4'-fluorobiphen-4-yl)-5-furan-2-yl-1H-pyrazol-4-ylmethyl]methyl(1-methylpyrrolidin-3-yl)amine showed 5-HT2A activity with IC50 = <math>5.14E-10.